

<p>53655 E/26 807 (B04) YANA 11.11.80 TANABE SEIYAKU KK *J57082-311 11.11.80-JP-159207 (22.05.82) A61k-09/10 Liposome compen. prodn. - by dispersing phospholipid in aq. medium, freeze-drying and re-dissolving the prod. in aq. medium contg. a drug</p>	<p>B(4-B1B, 5-B1P) 2 1 37 lecithin etc. The aq. medium is preferably water, saline, buffer (phosphate, citrate etc.), aq. saccharides (glucose, sorbitol, etc.). The drug may be normal drugs such as diltiazem, glutathione etc., vitamins, enzymes, hormones, antibiotics etc. For preparing a dispersion, 0.01-0.3 wt. pts. of phospholipid is used per pt. of the aqueous medium. The freeze-drying conditions are conventional. Generally, 5-100 wt. pts. of phospholipid is used per pt. of the drug.</p>
<p>Liposome preps. are produced by (a) dispersing phospholipid in an aq. medium, (b) freeze-drying the dispersion, and (c) re-dissolving the resultant freeze-dried product in an aqueous medium containing a drug. ADVANTAGES/USES Liposome is a good carrier for bringing a drug to the intended tissue, or adjusting the absorption of a drug. Conventional methods for incorporating drugs into liposome involve use of organic solvents (e.g. chloroform, ether, t-butanol) and hence there is a risk that the products still contain residual solvents. The process eliminates such a risk. Uses are pharmaceutical preparations, e.g. oral, injectable, suppository forms etc.</p>	<p>EXAMPLE 25g of yolk phospholipid was dispersed in 20 ml. of a buffer (1/15 M phosphate HCl buffer (pH 7): 0.9% saline = 1:1) then adjusted to 25 ml. The crude dispersion was treated on an ultrasonic emulsifier, and put in 1 ml. vials. 100 mg. of mannitol was added to each vial and the mixt. was freeze-dried at -40 to -43°C and 0.03-0.9 Torr (16 hrs.) to obtain a freeze-dried product (A). 1 ml. of a cyanocobalamin solution (prepared by dissolving 125 mg cyanocobalamin in 25 ml. of the same saline-buffer as above) and 1 ml. of distilled water were added to (A) to obtain a liposome dispersion contg. cyanocobalamin (20.3%). (4ppW119)</p>
<p>DETAILS The phospholipid is e.g. phosphatidyl choline, phosphatidyl ethanolamine, phosphatidyl inositol etc.; ovollecithin, soybean lecithin etc., synthetic cpds. such as dipalmitoyl</p>	<p>J57082311</p>